C:\STNEXP4\QUERIES\10075012b.str

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37
                                                38
                                                    39
                                                        40
                                                            41
                                                                42
                                                                    43
                            33
                               34 35
                                       36
                        32
   15
       16
           17
               24
                   31
                48
                   49
                        53
   45
       46
            47
ring nodes :
                                                                   22
                                                                       23
                                                                           25
                                       12
                                           13
                                               14
                                                   18
                                                       19
                                                           20
                                                               21
                5 6 7 8
                            9
                               10
                                   11
    1 2 3 4
      27 28
                29 30
   26
chain bonds :
   1 - 15 \quad 3 - 37 \quad 4 - 36 \quad 6 - 35 \quad 8 - 34 \quad 10 - 24 \quad 10 - 44 \quad 11 - 17 \quad 12 - 40 \quad 13 - 16 \quad 14 - 39
   17-18 19-32 20-33 22-41 24-45 29-31 33-42 33-43 37-38 45-53
   46-47 48-49
ring bonds :
    1-2 1-14 2-3 3-4 4-5 4-30 5-6 5-28 6-7 7-8 7-25 8-9 9-10
                 12-13 13-14 18-19 18-23 19-20
                                                    20-21 21-22 22-23
    10-11 11-12
                                29-30
    25-26 26-27 27-28
                         28-29
exact/norm bonds :
                                                    6-7 7-8 7-25 8-9
    1-2 1-14 1-15 2-3 3-4 4-5 4-30 5-6 5-28
                               11-17 12-13 13-14 13-16 17-18 18-19
    9-10 10-11 10-24 11-12
                                                     24-45 25-26 26-27
    18-23 19-20 19-32 20-21 20-33 21-22 22-23
                                              45-53
    27-28 28-29 29-30 29-31
                               33-42
                                      33-43
exact bonds :
    3-37 4-36 6-35 8-34 10-44 12-40 14-39 22-41 37-38 46-47 48-49
```

G1:[*1],[*2]

chain nodes :

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS
18:Atom

19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS 40:CLASS 41:CLASS 42:CLASS 43:CLASS 44:CLASS 45:CLASS 46:CLASS 47:CLASS

48:CLASS 49:CLASS 53:CLASS

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C:\STNEXP4\QUERIES\10075012a.str
```

```
ring nodes :
                                                                   25
                                  12
                                         14
                                             18
                                                 19
                                                    20
                                                        21
                                                            22
                6 7 8
                           10
                               11
                                     13
   1 2 3 4
              5
                         9
   26 27 28
              29 30
chain bonds :
   1-15 3-37 4-36 6-35 8-34 10-24 10-44 11-17 12-40
                                                       13-16 14-39
                                              37-38
   17-18 19-32 20-33 22-41 29-31 33-42 33-43
ring bonds :
   1-2 1-14 2-3 3-4 4-5 4-30 5-6 5-28 6-7 7-8 7-25 8-9 9-10
               12-13 13-14 18-19
                                  18-23
                                         19-20
                                              20-21 21-22 22-23
   10-11 11-12
   25-26 26-27 27-28 28-29
                           29-30
exact/norm bonds :
                                              6-7 7-8 7-25 8-9
   1-2 1-14 1-15 2-3 3-4 4-5 4-30 5-6 5-28
        10-11 10-24 11-12 11-17 12-13 13-14 13-16 17-18 18-19
        19-20 19-32 20-21 20-33 21-22 22-23 25-26 26-27 27-28
   18-23
                            33-43
   28-29 29-30 29-31 33-42
exact bonds :
   3-37 4-36 6-35 8-34 10-44 12-40 14-39 22-41 37-38
Match level :
```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom

18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:CLASS 32:CLASS

44:CLASS

10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS

35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS 40:CLASS

35

36

37

38

39

40

41

42

43

9:Atom

25:Atom 33:CLASS

chain nodes :

15 16 17

34:CLASS 41:CLASS 24

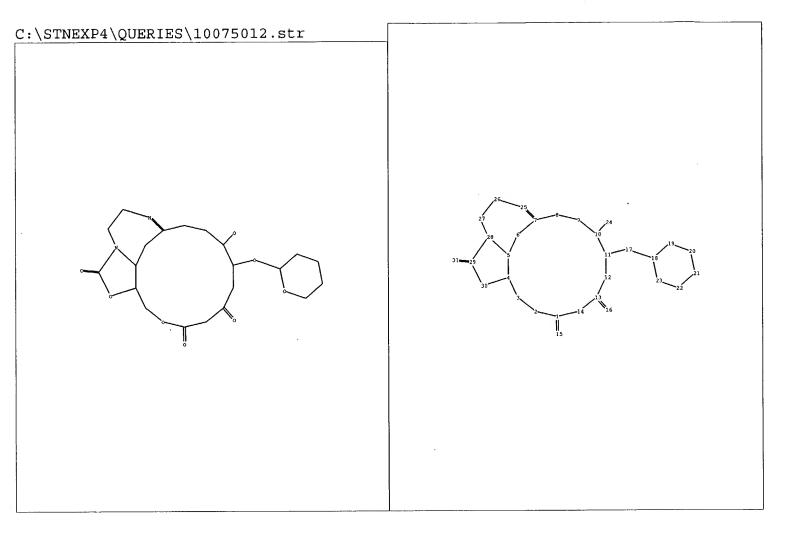
31

32

42:CLASS 43:CLASS

33

34



```
chain nodes :
   15 16 17 24 31
ring nodes :
   1 2 3 4 5 6 7 8 9 10 11 12 13 14 18 19 20
                                                      21 22 23 25
   26 27 28 29 30
chain bonds :
   1-15 10-24 11-17 13-16 17-18 29-31
ring bonds :
   1-2 1-14 2-3 3-4 4-5 4-30 5-6 5-28 6-7 7-8 7-25 8-9 9-10
   10-11 11-12 12-13 13-14 18-19 18-23 19-20 20-21 21-22 22-23
   25-26 26-27 27-28 28-29 29-30
exact/norm bonds :
   1-2 1-14 1-15 2-3 3-4 4-5 4-30 5-6 5-28 6-7 7-8 7-25 8-9
   9-10 10-11 10-24 11-12 11-17 12-13 13-14 13-16 17-18 18-19
   18-23 19-20 20-21 21-22 22-23 25-26 26-27 27-28 28-29 29-30
   29-31
```

Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:CLASS

=> d his

(FILE 'HOME' ENTERED AT 19:14:47 ON 23 FEB 2004)

FILE 'REGISTRY' ENTERED AT 19:14:57 ON 23 FEB 2004 L1 STRUCTURE UPLOADED

L2 QUE L1

L3 15 S L2

 ${\tt L4}$. 318 S ${\tt L2}$ SSS FUL

FILE 'CAPLUS' ENTERED AT 19:15:42 ON 23 FEB 2004 L5 27 S L4

FILE 'REGISTRY' ENTERED AT 19:17:14 ON 23 FEB 2004

L6 STRUCTURE UPLOADED

L7 QUE L6

L8 294 S L7 SUB=L4 FUL

FILE 'CAPLUS' ENTERED AT 19:17:57 ON 23 FEB 2004 L9 26 S L8

FILE 'REGISTRY' ENTERED AT 19:18:20 ON 23 FEB 2004

FILE 'CAPLUS' ENTERED AT 19:19:14 ON 23 FEB 2004

FILE 'REGISTRY' ENTERED AT 19:19:26 ON 23 FEB 2004

L10 STRUCTURE UPLOADED

L11 QUE L10

L12 7 S L11

L13 78 S L11 SUB=L4 FUL

FILE 'CAPLUS' ENTERED AT 19:21:45 ON 23 FEB 2004 L14 12 S L13

=> d ibib abs hitstr 1-12

L14 ANSWER 1 OF 12 CAPILUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2004:60128 CAPILUS DOCUMENT NUMBER: 140:122754 Macrolides with activity activity 140:122754 Macrolides with activity against methicillin-resistant

Macrolides with activity against methicillin-resistant
Staphylococcus aureus
Ma, Zhankun; Djuric, Stevan; Keyes, Robert; Yong, Hong /
USA
U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO
Patent
English INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE KIND DATE PATENT NO. US 2003-361912 20030210 US 2002-356292P P 20020213 US 2004014690
PRIORITY APPLN. INFO.: A1 20040122

Compds. I (two of Al, Bl, Cl, El = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, etc., and the remainder = H; Ll = C=C. (E)-CH=CH. (2)-CH=CH: Xl=H, F; RA = H, hydroxyl protecting group; Rl = (un) substituted aryl, heterocaryl, processes for making the compds. and salts of prodrugs arrens (MRSA), the compds. and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates unsupplylaxis and treatment of MRSA infections using the compds. for properties and treatment of MRSA infections using the compds. (all processes and the compds. Salts of the compds. (all processes and the compds.) (all processes are salts) (a

ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) hexamethyl-11-[[4-(3-quinolinyl)-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino]-6-D-xylo-hexopyranosyl]oxy]-, (3a5,4R,7R,9R,10R,11R,13R,15R,15R)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

581804-75-3 CAPLUS
14,1-{Nitriloethano}-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[4-[4-(2-thienyl)phenyl]-2-butynyl]oxy]-10-[(3,4,6-trideoxy3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxyl-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

581804-76-4 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[4-{4-(2-thiazolyl)phenyll-2-butynylloxy]-10-[[3,4,6tridecxy-3-(dimethylamino)-8-0-xylo-hexopyranoxylloxyl,
{3a5,4R,7R,9R,10R,11R,13R,15R,15aR}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 581804-72-0 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[4-(2-pyridinyl)-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3(dimethylamino)-8-D-xylo-hexpyranoxyl]oxy]-,
(3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

581804-73-1 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(1,2,3-thiadiazol-5-y])phenyl]-2-butynyl]oxy]-10-[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranoxyl]oxy]-(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

581804-74-2 CAPLUS 14,1-(Nitriloethano)-2H-oxacyclotetradecino(4,3-d)oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-

L14 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

581804-77-5 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 4-ethyl-11-[4-(4-(2-furanyl)phenyl]-2-butynyl]oxy]3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethyl amino]-β-D-xylo-hexopyranoxyl]oxy](3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

581804-78-6 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 11-[4-(4-ethenylphenyl)-2-butynyl]oxy]-4-ethyl3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[{3,4,6trideoxy-3-(dimethylamino)-β-D-xylo-hexpyranoxyl]oxyl(3aS,4N,7R,9R,10R,11R,13R,15R,15R)-(9C1) (CA INDEX NAME)

L14 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

581804-80-0 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[4-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]-2-butynyl]oxy]-10[(3,4,6-trideoxy-3-(dimethylamio)-8D-xylo-hexopyranoxyl]oxy]-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
582305-60-0P 582305-61-IP 582305-63-3P
582305-64-4P 582305-66-6F 582205-70-7P
582305-86-8P 582205-569-9P 582205-70-2P
582305-71-3P 582305-72-4P 582205-73-5P
582305-74-6F 582205-75-7F 582205-78-8P
582305-78-0P 582305-79-IP 582205-78-8P
582305-78-0P 582305-79-IP 582205-80-4P
639826-99-5P 639826-90-6P 639827-00-2P
RIL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN
(Synthetic preparation); IHU (Therapeutic use); BIOL (Biological study);
PREF (Preparation); USES (Uses)
(prepn. of erythromycin macrolide analogs having antibacterial activity)
582305-57-5 CAPLUS
14, 1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3,6-f-[dpenylamino]methyl]-2-thienyl-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimathylamino)-8-D-xylo-hexopyranoxyl]oxy]-,
(335,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

582305-58-6 CAPLUS
2-Thiophenecarboxaldehyde, 5-[3-[{(3aS,4R,7R,9R,10R,11R,13R,15R,15aR}-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-examethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-9-D-xylo-hexopyranoxyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-djoxazol-11-yl]oxy]-1-propynyl]-, 2-[0-(phenylmethyl)oxime], [C(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PATENT ASSIGNEE(S): SOURCE:

USA U.S. Pat. Appl. Publ., 24 pp. CODEN: USXXCO

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 2004009931 AI 20040115 PRIORITY APPLN. INFO.: US 2003-361221 US 2002-356296P P

MARPAT 140:77361 OTHER SOURCE(S):

The present invention discloses preparation of erythromycin macrolide

I

AB The present invention discloses preparation of erythromycin macrolius analogs, such as I (A, B, D, E = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocycle, CM, OH, SH, COZH, ester, anide, etc.; AD, AE, BD = one- to five-membered alkylene, two- to five-membered hetero-alkylene; alkylene, two- to seven-membered hetero-alkylene; alkylene, two- to seven-membered hetero-alkylene; alkynylene, amine, indice, etc.; AD, AE, BD = (B)-CH-CH, (2)-CH-CH, Ct.plbond.C; R = H, protecting group; W = H, aryl, heteroaryl, heterocycle; X = H, F; Y = arylene, hetero-arylene], and salts, prodrugs, and salts of prodrugs thereof, for treating bacterial infections. Thus, title compds. Were prepared and tested for their antibacterial activity against Stabhylococcus aureus, Streptococcus progress and Streptococcus preumoniae. Thus, (ZR, 4R, SR, 6H, 8R, 11R, 12S, 19R, 20R)-11-ethyl-2, 4.6,8,12,19-hemsethyl-7,9,14-trioxo-4-(3-6-((heherylamino))=b-hylo-hom)methyl-lhien-2-yl)prop-2-ynyl-10,13-dioxa-15,18-diaza-tricycle[10.6.2.015,20]ioos-1(18)-en-5-yl-3,4,6-trideoxy-3-(dimethylamino)=b-sylo-homopyranoside, was prepared and tested in vitro as antibacterial agent.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

592305-59-7 CAPIUS 2-Thiophenecarboxaldehyde, 5-[3-{[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[(3,4,6-tridexy-3-(dimethylamino)- β -D-wylo-hexopyranowyl) (xyl)-14,1-(n1triloethano)-2H-oxacyclotetradecino(4,3-d]oxarol-11-yl]oxy]-1-propynyl]-, 2-{0-methyloxime}, [C(E)]- (9CI) (CA INDEY NAME)

Absolute stereochemistry.
Double bond geometry as shown.

582305-60-0 CAPLUS 2-Thiophenecarboxaldehyde, 5-{3-[[(3as,4R,7R,9R,10R,11R,13R,15R,15aR]-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranoxyl]oxy]-14,1-(nitriloethano)-2R-oxacyclotetradecino[4,3-d]oxaco-11-y1]oxy]-1-propynyl]-, 2-(0-phenyloxime), [C(E)]- (9CI) (CN INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 582305-61-1 CAPLUS
CN 2-Thiophenecarboxaldehyde, 5-[3-[{[3aS,4R,7R,9R,10R,11R,13R,15R,15aR}-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosylloxy]-14,1-(nitriloethano)-ZH-oxacyclotetradecino[4,3-d]oxacol-11-ylloy]-1-propynl]-, 2-[0-(1-naphthalenylmethyl)oxime], [C(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 582305-63-3 CAPLUS
CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,6-trioxo-10-[(3,4,6-tridoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-ZH-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-[0-(2-phenoxyethyl)oxime], [C(E)]-

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 582305-67-7 CAPLUS
CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosylloxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-ylloxy]-1-propynyl]-, 2-[0-(3-quinolinylmethyl) oxime], [C(E)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 582305-68-8 CAPLUS
CN 14, 1-(Nitriloethano) -2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H) trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-[5-[[(2-phenylethyl)amino]methyl]-2-thienyl]-2propynyllonyl-10-[13,4,6-trideoxy-3-(dimethylamino]m-p-P-xyl)
hexopyranosyl]oxyl-, (3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX
NAMP)

Absolute stereochemistry.

Page 4

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 582305-64-4 CAPLUS
CN 14,1-(Nitriloethano) -2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H) trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-[5-[[(phenylmethyl])amino])methyl]-2-thienyl]-2propnyn]loxyl-10-[[3,4,6-trideoxy-3-(dimethylamino]-p-D-xylohexopyranosyl]oxy]-, (3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX
NAMR)

Absolute stereochemistry.

RN 582305-66-6 CAPLUS
CN 2-Pyridinecarboxylic acid, (2E)-[[5-[3-[[(3as,4R,7R,9R,10R,11R,13R,15R,15a],1-c+btyl-3a,4,6,7,8,9,10,11,12,13,15-bexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-bxopyranoxyl]oxy]-14,1-(nitriloethano)-2R-D-xacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-2-thienyl]methylene]hydrazide (9CI) (CA

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 582305-69-9 CAPLUS
CN 14,1-(Nitriloethano) - 2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H) trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-[5-[[(3-phenylpropyl)amino]methyl]-2-thienyl]-2propynyllowyl-10-[[3,4,6-tridoxy-3-(dimethylamio)-PD-xylohexopyranosyl]owyl-, (3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

RN 582305-70-2 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-[3-(2-pyridinyloxy]phenyl]-2-propynyl]oxy]-10-[[3,4,6trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranoxyl]oxy](3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 582305-71-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3as,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10],11,12,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-[ntribethano]-2H-oxacyclotetradecino[4,3-djoxacol-11-yl]oxy]-1-propynyl]-N-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-72-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-[nitriloethano)-ZH-oxacyclotetradecino[4,3-d]oxacol-11-yl]oxy]-1-propynyl]-N-(3-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 582305-75-7 CAPLUS
CN 14,1-(NitriJoethano) -2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H) trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[{3-(4-phenoxyphenyl)-2-propynyl]oxy}-10-[{3,4,6-trideoxy-3(dimethylamino]-8-D-xylo-hexopyranoxyl]oxy}-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-76-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[{[3a5,4R,7ñ,9R,10R,11R,13R,15R,15aR]-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15-hexamethyl-2,6,8-trioxo-10-[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxy]-4,1-{ntriotechano}-2H-oxacytotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 582305-73-5 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 4-ethyl-11-{[3-{3-(3-fluorophenoxy)phenyl]-2-propynyl]oxy}-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[{3,4,6-tridecxy-3-(dimethylamino)-pb-mylo-hexpyranoxyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-74-6 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino(4,3-d] oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-[5-(2-pyridinylethynyl)-2-thienyl]-2-propynyl]oxy]-10[[3,4,6-trideoxy-3-(dimethylamino)-B-n-xylo-hexopyranoxyl]oxy]-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15RR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 582305-78-0 CAPLUS

2-Thiophenearboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-6-D-xylo-hexopyranosyl)ay]-14,1-[nitriloethano]-2-H-oxavoylotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-N-[3-(3-quinolinyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 592305-79-1 CAPLUS CN 14,1-(NitriJoethano)-2H-oxacyclotetradecino(4,3-d)oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,158-octahydro-3a,7,9,11,13,15-

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN [Continued] hexamethyl-11-[[3-{5-[[methyl {phenylmethyl) amino]methyl]-2-thienyl]-2-propynyl]oxy]-10-[[3.4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy]-, (3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

582305-80-4 CAPLUS
Urea, N-[5-[3-[[(3as,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl3a,4,6,7,8,9,10,11,12,13,15,15a-dodecshydro-3a,7,9,11,13,15-hexamethyl2,6,8-tricox-10-[[3,4,6-trideoxy-3-(dimethylamino]-P-D-xylohexopyranosyl]oxy]-14,1-[nitriloethano]-2H-oxacyclotetradecino[4,3d]oxazol-11-yl]oxy]-1-propynyl]-2-thienyl]-N'-4-pyridinyl- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

639826-95-2 CAPLUS

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

639826-98-5 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[(2-0-benzoy1-3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoy1]oxy]-11-[(3-(5-benzov2-thienyl)-2-propyny1)oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

639826-99-6 CAPLUS
2-Thiophenecarboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR]-4-ethyl-3a,4,6,7,8,9,10,11,13,15-hexamethyl-2,6,8-trioxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy]-14,1-[nitriloethano]-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-N-1,2,3-thiadiazol-4-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 2-thiophenecarboxaldehyde, 5-[3-[[(3as, 4R, 7R, 9R, 10R, 11R, 13R, 15R, 15R, 1-4-ethyl-3a, 4, 6, 7, 8, 9, 10, 11, 12, 13, 15, 15a-dodecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8-trioxo-10-[(3, 4, 6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxyl-14, 1-(nitriloethano)-2H-oxacyclotetradecino[4, 3-dloxacol-11-yl]oxyl-1-propyl]-, 2-[0-[3-(1-naphthalenyl)-2-propenyl]oxime], [C(E)]- {9CI} {CA INDEX NAME}

Absolute stereochemistry.
Double bond geometry as described by E or 2.

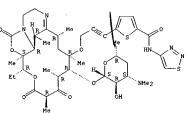
PAGE 1-A

PAGE 1-B

639826-96-3 CAPLUS 2-Thiophenecarboxaldehyde, $5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-l0-[[3,4,6-trideoxy-3-(dimethylamino)-<math>\beta$ -D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-, 2-(2-pyridinylhydrazone), [C(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



639827-00-2 CAPLUS
Urea, N-[3-[3-[(335,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl2,6,8-tricxo-10-[(3,4,6-tridecxy-3-(dimethylamino)-P-D-xylohexcpyranoxylloxyl-14,1-(hitrilocthano)-2H-oxacyclotetradecino(4,3-d]cxazol-11-yl]cxy]-1-propynyl]phenyl]-N'-4-pyridinyl- (9CI) (CA INDEX

Absolute stereochemistry.

S81804-04-4F 639826-92-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RRCT (Reactant or reagent) (preparation of expthemoyein macrolide analogs having antibacterial activity)
S81804-84-4 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 10-[(2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxyl-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,3,15-hexamethyl-11-(2-propynyloxyl-,(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Absolute stereochemistry.

639826-92-9 CAPLUS
2-Thiophenecarboxaldehyde, 5-{3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,9-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-ZH-oxasyclotetradecino[4,3-djoxazol-11-yl]oxy]-1-propynyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

639826-94-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of erythromycin macrolide analogs having antibacterial activity)
639826-94-1 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS ON STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
119:180299
Macrolides with activity against methicillin-resistant
Staphylococcus aureus
Ma, Zhenkun, Keeye, Robert; Djuric, Stevan; Yong, Hong
Abbott Laboratories, USA
PCT Int. Appl., 38 pp.
CODEN: PIXXD2
PATENT INFORMATION:
English
FAMILIP ACC. NUM. COUNT:
1
PATENT INFORMATION:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

Compds. having activity against methicillin-resistant Staphylococcus aureus (MRSA), macrolides having formula I, wherein two of Al, Bl, Dl, and Dl are H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heteroaryl, of the start of Al, Bl, Dl, and Dl together are one-to five-membered alkylene or two-to five-membered heteroalkylene, and the remainder are hydrogen; or Al and Bl together are one-to seven-membered alkylene or two-to seven-membered heteroalkylene, and Dl and El are hydrogen; or Al and Bl are hydrogen; or Bl and El together are one-to seven-membered alkylene or two-to seven-membered heteroalkylene, and Al and Bl are hydrogen; Ll is alkynyl, alkenyl; Xl is H, F, R is H, GH protecting group; Rl is aryl, heteroaryl, heterocycle; and salts, prodrugs, and salts of prodrugs thereof, proceases for making the compds. and intermediates used in the processes, compns. containing the compds., and

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9Cf) (CA INDEX NAME)

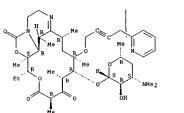
Absolute stereochemistry.

ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) methods for prophylaxis and treatment of MRSA infections using the compds. are disclosed. (3AS, 4R, 7R, 9R, 10R, 11S, 13R, 15R, 15aR). 4-ethyl-3a, 7, 9, 11, 31, 15-hexamethyl-11-(4-(4-(2-nethyl-Tetherazol-5-yl)phenyl)but-2-ynyl)oxy)-2, 6,8-tri-oxododecahydro-14, 1-(epiazenoethano)oxacyclotetradec ino(4,3-d)[1,3] oxacyol-10-yl-3,4,5-trideoxy-3-(dimethyl-Maimol-9-B-xylo-hexopyranoside was prepd. and. All of the compds. tested displayed in vitro activity against MRSA superior to their resp. controls. In a preferred range, the compds. demonstrated MIC's in a range of about 2 µg/mL to about 64 µg/mL and in a more preferred range, the compds. demonstrated MIC's in a range of about 2 µg/mL to about 6 µg/mL. S51804-72-0F 551804-73-1P 551804-73-1P 571804-73-1P 57

(Uses)
(preparation of macrolide glycosides with activity against methicillin-resistant Staphylococcus aureus)
S81804-72-0 CAPLUS
14,1-(Mitriloethano)-2M-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7M,9H)-trione. 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[(4-(2-pyridinyl)-2-butynyl]oxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-8-D-wylo-bexopyranoxyl)oxy].
(3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

1)

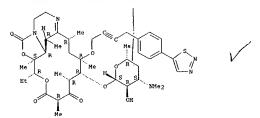


581804-73-1 CAPLUS
14,1-{Nitriloethano}-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-{4-(1,2,3-thiadiazol-5-yl]phenyl]-2-butynyl]oxy]-10-([3,4,6-trideoxy-3-(dimethylamino)-B-D-xyl)-bexopyranoxyl]oxy]-,
(3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

2)

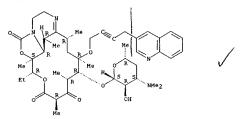
3)

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 581804-74-2 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[4-(3-quinolinyl)-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3(dimethylamino)-9D-bxylo-hexopyranosyl]oxy]-,
(3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

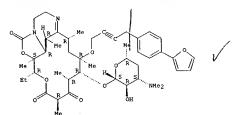
Absolute stereochemistry.



RN 581804-75-3 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3-a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[4-[4-[2-thienyl]phenyl]-2-butynyl]oxy]-10-[[3,4,6-trideoxy3-(dimethylamino]-B-b-xylo-hexopyranoyl]oxy]-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15aR}- (9CI) (CA INDEX NAME)

Absolute stereochemistry

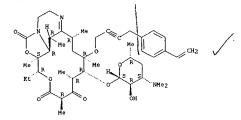
L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 581804-78-6 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 11-[14-(4-ethenylphenyl)-2-butynyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-Po-xylo-hexopyranoxyl)oxy]-, (3a5,4R,7R,9R,10R,11R,13R,15R,15eR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

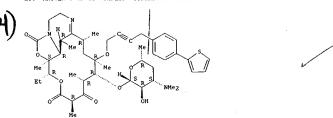
7)



RN 581804-79-7 CAPLUS
CN 14,1-(NitriJoethano) -2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3-a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[4-[4-(2-pyridinyl]) phenyl]-2-butynyl]oxy]-10-[[3,4,6tridecxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxy]-,
(3a5,4R,7R,5R,10R,11R,13R,15R,15aR)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



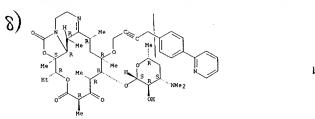
RN 581804-76-4 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino(4,3-d)oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[f4-[4-(2-thazolyl)]henyl-1]-2-butynylloxyl-10-[[3,4,6trideoxy-3-(dimethylamino)-6-D-xylo-hexopyranosylloxyl(3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 581804-77-5 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-11-[(4-[4-[2-furanyl]phenyl]-2-butynyl]oxy]3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[{3,4,6trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranoxyl]oxy](3aS,4R,7R,9R,10R,11R,13R,15R,15RR)- (9CI) (CA INDEX NAME)

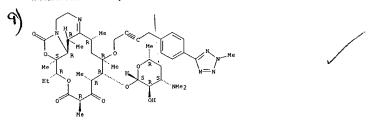
Absolute stereochemistry.

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 581804-80-0 CAPLUS
CN 14,1-(Ritriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-sylo-hexopyranoxyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CX INDEX NAME)

Absolute stereochemistry.



RN 581804-87-7 CAPLUS
CN 14,1-[Nitriloethano] - 2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 10-[[2-0-benzoyl-3,4,6-tridecxy-3-(dimethylamino]-B-D-xylohexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[[4-(2-pyridinyl)-2-butynyl]oxy]-,
(3a5,4R,7R,9R,10R,11R,13R,15R,15aR]- (9CI) (CA INDEX NAME)

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 581804-88-8 CAPLUS
CN 14,1-(Nitriloethano) - 2H-oxacyclotetradecino[4,3-d] oxazole-2,6,8 (7H,9H)trione, 10-[[2-0-benzoyl-3,4,6-tridecxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[[4-[4-[1,2,3-thiadiazol-5-yl)phenyl]-2butynyl]oxyl-, (3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 581804-89-9 CAPLUS
CN 14,1-[Nitriloethano]-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 10-[[2-0-bezcyl-3,4,6-trideoxy-3-(dimethylamino]-β-D-xylohexopyranosyl]oxyl-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[[4-(3-quinolinyl]-2-butynyl]oxyl-,
(3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continue

RN 581804-92-4 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 10-{[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexcpyranosyl]oxy]-4-ethyl-11-[[4-{4-(2-furanyl)phenyl]-2-butynyl]oxy]3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-,
(3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 581804-93-5 CAPLUS
CN 14,1-(Nitriloethano)-ZH-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 10-[[2-0-benzoy]-3,4,6-trideoxy-3-(dimethylamino)-B-D-xylohexopyranosy]loxy]-11-[[4-(4-ethenylphenyl]-2-butynyl]oxy]-4-ethyl3a,4,10,11,2,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-,
(Jas,4,7R,9R,10R,11R,13R,15R,15aN)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

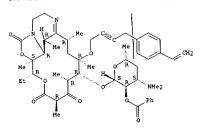
RN 581804-90-2 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[[4-[4-chienyl]phenyl]-2-butynyl]oxy]-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 581804-91-3 CAPLUS
CN 14, 1- (Nitriloethano) - 2H-oxacyclotetradecino [4,3-d] oxazole-2,6,8 (7H,9H) trione, 10- [[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino) -β-D-xylohexopyranosyl] oxyl -4-ethyl-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(2-thizozly)] phenyl]-2-butynyl] oxy]-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15aR) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. .

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 581804-94-6 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione,10-[12-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxyl-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[[4-[4-(2-pyridinyl)phenyl]-2-butynyl]oxy]-,
(3a5,4H,7R,9R,1DR,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 581804-95-7 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione,10-{[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[[4-(4-(2-methyl-2H-tetrazol-5-yl)phenyl)-2butynyl]oxy)-, (3a5,48,78,98,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Sel804-84-4P 581804-85-5P 581804-86-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of macrolide glycosides with activity against methicillin-resistant Staphylococcus aureus)
581804-84-4 CAPLUS
14.1-(Nitriloethano)-ZH-oxacycloeteradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-([2-0-benzyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-cotahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-,
(3as,4R,7R,9R,10R,11R,13R,15R,15R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

581804-85-5 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[{2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-pB-D-xylo-

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(cributylstannyl)-2-propynyl]oxy]-, (3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

581804-86-6 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-p-D-xylohexcpyranoxyl]oxyl-11-[[4-(4-bromcphenyl)-2-butynyl]oxy]-4-ethyl3a,4,10,1,12,13,15-ba-octahydro-3a,7,9,11,3,15-bexamethyl-,
{3aS,4R,7R,9R,10R,11R,13R,15R,15aR}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS ON STN SION NUMBER: 2003:656779 CAPLUS

MENT NUMBER:

139:197706
Preparation of macrolide erythromycin analogs having TITLE:

Preparation of macrolide erythromycin analogs navia antibacterial activity Ha, Zhenkun; Clark, Richard; Djuric, Stevan; Wang, Sanyi Abbott Laboratories, USA PCT Int. Appl., 57 pp. CODEN: PIXXD2 Patent INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

English 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

AB The present invention discloses preparation of erythromycin mactorial analogs, such as I (A, B, D, E = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocycle, CN, OH, SH, COZH, ester, amide, etc.; AD, AE, BD = one- to five-membered alkylene, two- to five-membered heteroalkylene; AB, DE = one- to seven-membered alkylene, two- to seven-membered heteroalkylene, L = alkylene, alkynylene, amine, imine, etc.; M = (E)-CH-CH, (Z)-CH-CH, C.tplbond.C; R = H, protecting group; W = H, aryl, heteroaryl, heterocycle; X = H, F; Y = arylene, heteroarylene), and salts, prodrugs, and salts of prodrugs thereof, for treating bacterial infections. Thus, erythromycin macrolide analog II (R = NHe2) was prepared and tested for its antibacterial activity against Staphylococcus aureus,

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN Streptococcus pyogenes and Streptococcus progenes and Streptococcus permoniae.

1 582305-57-59 E82305-58-69 E82305-58-19 E82305-58-19 E82305-58-69 E82305-58-19 E82305-68-5P E82305-68-39 E82305-68-67-7P E82305-68-5P E82305-68-69 E82305-78-79 E82305-78-11-3P E82305-78-79 E82305-77-79 E82305-78-79 E82305-78-79 E82305-78-79 E82305-78-79 E82305-78-99 E82305-78-79 E82305-78-99 E82305-7

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of crythromycin macrolide analogs having antibacterial activity)
58:2305-57-5 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3-a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-[(phenylamino]methyl]-2-thienyl]-2-propynyl]oxy]-10-[(3,4,6-trideoxy)-3-(dumthylamino]-HD-Hylo-hexpyranoxyl]oxy]-,
[3a,6-trideoxy-3-(dumthylamino]-HD-Hylo-hexpyranoxyl]oxy]-,

Absolute stereochemistry.

582305-58-6 CAPLUS
2-Thiophenecarboxaldehyde, 5-[3-[{(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl)oxyl-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl)oxyl-1-propynyl)-, 2-[0-(phenylmethyl)oxime], [C(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN Double bond geometry as shown.

$$\label{eq:continuous} \begin{split} &582305-61-1 \quad \text{CAPLUS} \\ &2-\text{Thiophene carboxaldehyde, } 5-[3-[\{(3as,4R,7R,9R,10R,11R,13R,15R,15R]-4-\text{ethyl}-3a,4,6,7,8,9,10,11,12,13,15,15a-\text{dodecahydro-}3a,7,9,11,13,15-\text{hexamethyl}-2,6,8-\text{trioxo-}10-[[3,4,6-\text{trideoxy-}3-(\text{dinethylamino})-\beta-D-\text{xylo-hexcpycanosyl}]\text{oxy}]-14,1-\{\text{nitrioethano}-2R-\text{oxacyclotetradecino}\{4,3-d]\text{oxacyl-11-ylloxy}]-1-propynyl]-, 2-[0-\{1-\text{naphthalenylmethyl}]\text{oxime}\}, \\ &[C(E)]- \quad \text{(OA INDEX NAME)} \end{split}$$

Absolute stereochemistry. Double bond geometry as shown

 $\begin{array}{ll} 582305-62-2 & CAFLUS\\ 2-Thiophene carboxal dehyde, & 5-\{3-\{\{\{3as,4R,7R,9R,10R,11R,13R,15R,15aR\}-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-\{[3,4,6-trideoxy-3-\{dimethylamino)-\beta-D-xylo-hexopyranosyl]oxyl-1,4,1-[nitriloethano]-2H-oxacyclotetradecino[4,3-d]oxacyl-1-yl]oxyl-1-proppynyl]-,2-[0-\{2E,0-3-\{1-naphthalenyl\}-2-propenyl]oxime], & [C(E)]- & (9CI) & (CA INDEX NAME) & (CA INDEX NA$

Absolute stereochemistry.

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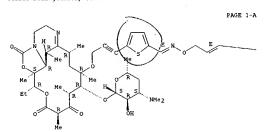
L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

582305-59-7 CAPLUS
2-Thiophenecarboxaldehyde, 5-[3-[{(3as,4R,7R,9R,10R,11R,13R,15R,15R]-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-ZH-oxacyclotetradecino[4,3-djoxazol-11-yl]oxy]-1-propynyl]-, 2-(O-methyloxime), [C(E)]- (9CI) (CA INDEX NAME)

582305-60-0 CAPLUS 582305-60-0 CAPLUS
2-Thiophenearboxaldehyde, 5-{3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl)oxy]-4,1-(nitrioethano)-2H-oxacycolotetradecino(4,3-d)cxazol-11-yl]oxy]-1-propynyl]-, 2-(0-phenyloxime), [C(E)]- (9CI) (CALNEY MAME)

Absolute stereochemistry.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN Double bond geometry as shown. (Continued)



PAGE 1-B

582305-63-3 CAPLUS 2-Thiophenecarboxaldehyde, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15R]-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxacycl-11-yl]oxy]-1-propynyl}-, 2-[0-(2-phenoxyethyl)oxime], [C(E)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 582305-64-4 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[13]-5-[(f)phenylmethyl) amino]methyl-11-[19]-2-thionyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hxopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-65-5 CAPLUS

14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[13-[5-[(1E]-2-pyridinylazo]methyl]-2-thienyl]-2propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranoxyl]oxy]-, (3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L14 ANSWER 4 OF 12 CAPLUS COFYRIGHT 2004 ACS on STN (Continued) Double bond geometry as shown.

RN 582305-68-8 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino(4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-b-xamethyl-11-[3]-[5-[(2-phenylethyl) amino)methyl-2-thienyl-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-wylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-69-9 CAPLUS
CN 14,1-(Nitriloethano) - 2H-oxacyclotetradecino [4,3-d] oxazole-2,6,8 (7H,9H) trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-[5-[[(3-phenylpropyl)amino]methyl]-2-thienyl]-2propynyllowyl-0[-[3,4,6-trideoxy-3-(dimethylamio)-p-0-xylohexopyranosyl]oxyl-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 582305-66-6 CAPLUS
CN 2-Pyridinecarboxylic acid, (2E)-[[5-[3-[{(3aS,4R,7R,9R,10R,11R,13R,15R,15R,15R,15R,14-6thy]-3a,4,6,7,8,9,10,1],12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethy]-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxy]1oxy]-14,1-(nitrilocthamo)-ZH-oxacyclottradecino[4,3-d]oxacol-11-ylloxy]-1-propynyl]-2-thienyl]methylene]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 582305-67-7 CAPLUS
CN 2-Thiophenecarboxaldehyde, 5-[3-[[(3a5,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,101,112,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,6-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-ZH-oxacyclotetradecino[4,3-d]oxacol-11-ylloxy]-1-propynyl]-, 2-[0-(3-quinolinylmethyl)oxime], [C(E)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 582305-70-2 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-[3-(2-pyridinyloxy)phenyl]-2-propynylloxy]-10-[(3,4,6trideoxy-3-(dimathylamino)-B-b-xylo-hexopyranoxylloxy](3as,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-71-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3a5,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-14,1-(nitribethano)-2H-oxaxyclotetradecino[4,3-djoxazol-11-yl]oxy]-1-propynyl]-N-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 582305-72-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS, 4R, 7R, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-3a, 4, 6, 7, 8, 9, 10, 11, 12, 13, 15, 15a-dodecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8-trioxo-10-[(3, 4, 6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxy]-14, 1-(mitriloethano)-2H-oxacyclotetradecino(4, 3-d]oxacol-11-yl]oxy]-1-propynyl]-N-(3-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-73-5 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 4-ethyl-11-[[3-(3-(3-fluorophenoxy)phenyl]-2-propynyl]oxy]-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-n-xylo-hexopyranoxyl]oxy]-, (3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-76-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl-3a,4,6,7,8,9,10,11,12,13,15-hexamethyl-2,6,8-tricxo-10-[[3,4,6-trideoxy-3--4-dimethylamino]-P-D-xylo-hexopyranoxyl]oxy]-4,1-(nitrileethano)-2H-oxavyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

RN 582305-77-9 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3aS, 4R, 7R, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-3a, 4, 6, 7, 8, 9, 10, 11, 12, 13, 15, 15a-dodecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8-trioxo-10-[(3, 4, 6-trideoxy-3-(dimethylamino)-6-D-xylo-hexopyranosyl]oxy]-14, 1-(nitriloethano)-2H-oxacyclotetradecino(4, 3-d)cxacyclotetradecino(4, 3-d)cxacyclotetrade

Absolute stereochemistry.

L14 ANSWER, 4 OF 12 CAPLUS' COPYRIGHT 2004 ACS on STN (Continued)

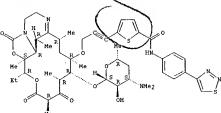
RN 582305-74-6 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3-4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[(3-[5-(2-pyridinylethynyl)-2-thienyl]-2-propynyl]oxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-B-p-xylo-bexopyranosyl)oxy]-(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 582305-75-7 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-(4-phenoxyphenyl)-2-propynyl]oxy]-10-[{3,4,6-trideoxy-3(dimethylamino)-6-D-xylo-hexopyranosyl]oxy]-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 582305-78-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-[3-[[(3as, 4R, 7R, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl3a, 4, 6, 7, 6, 9, 10, 11, 12, 13, 15, 15a-dodecahydro-3a, 7, 9, 11, 13, 15-hexamethyl2, 6, 9 +trioxo-10-[(3, 4, 6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxy]-14, 1-(mitriloethano)-ZH-oxacyclotetradecino(4, 3d]oxacol-11-yl]oxy]-1-propynyl]-N-[3-(3-quinolinyl)propyl]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 582305-79-1 CAPLUS CN 14,1-(Nitriloethano) -2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
hexamethyl-11-[[3-[8-[[nethyl(phenylmethyl)amino]nethyl]-2-thienyl]-2propynyl]oxyl-10-[[3,4,6-trideoxy-3-(dimethylamino]-Pb-wylohexopyranosyl]oxyl-, (3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX

Absolute stereochemistry.

582305-80-4 CAPLUS
Urea, M-[5-[3-[(3a5,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyl3a,4,6,7,8,9,10,11,12,13,15,15a-dodecahydro-3a,7,9,11,13,15-hexamethyl2,6,8-trioxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-P-D-xylohexopyranosyl]oxy]-14,1-(nitriloethano)-ZH-oxacyclotetradecino[4,3d]oxazol-11-yl]oxy]-1-propynyl]-2-thienyl]-N'-4-pyridinyl- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

581804-84-4P 582305-86-0P

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (Reactant or reagent); RACT (Reactant or reagent); RACT (STREAM (REACTANT)); RACT (REACTANT); RACT (REACT

Absolute stereochemistry.

582305-86-0 CAPLUS
14,1-(Nitrilosthano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 11-[{3-(5-bromo-2-thienyl]-2-propynyl]oxy]-4-ethyl3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-bexamethyl-10-[{3,4,6trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-,
(3a,4,R,9R,10R,11R,13R,15R,15aN)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
SSION NUMBER: 2002:575746 CAPLUS
137:125356
Preparation of 6-0-alkyl-2-nor-2-substituted
erythromycin ketolide derivatives as antibacterial
agents
NTOR(S): Phan, Ly Tam; Or, Yat Sun; Ma, Zhenkun ACCESSION NUMBER:

INVENTOR (S):

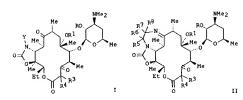
USA U.S. Pat. Appl. Publ., 43 pp. CODEN: USXXCO Patent PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE US 2002103140
US 6569836
FRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI A1 20020801 B2 20030527 US 2000-727934 20001130 US 1999-168504P P 19991202 MARPAT 137:125356



AB Erythromycin ketolide derivs., such as I and II {R = H, hydroxy protecting group; RI = alkyl, alkenyl, alkenyl-R2, alkynyl-R2, R2 = H, aryl, heteroaryl; R3 = H, OH, NH2, substituted amine, SePh, halogen; R4 = H, OH, F, Cl, Br, I, alkyl alkenyl, alkynyl, ether, ester, alkylamine; R3 and R4 taken together with the atoms to which each is attached forms a 3— to 6-membered aromatic or non-aromatic ring optionally containing a heteroatom, wherein the non-aromatic ring optionally containing a R5-R8 = H, alkyl], and pharmaceutically acceptable salts, eater, solvate or prodrug thereof, were prepared for their use as antibacterial agents. The invention relates to 6-O-alkyl-2-non-2-substituted kelolide compound or a derivative thereof, a composition comprising the compound and a suitable carrier, a

er, a method of preparing the compound, and a method of treatment and prevention

infections in mammals compressed, and a method of treatment and prevention R3, R4, Y = H R1 = CHZCH:CH (-3 quinoly1) [III] was prepared via debenzoylation of I [R = benzoyl. R3, R4, Y = H R1 = CHZCH:CH (-13 quinoly1)]. III was tested in vitro for its antibacterial activity (MIC = 0.01 to > 100).

ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
444300-32-7 444300-34-9
RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation of 6-0-alkyl-2-nor-2-substituted ketolide derivs. having antibacterial activity)
44300-32-7 CAPLUS
14,1-(Nitriloethano)-2H-cxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-([2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxyl-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-0-2-propenyl-, (3as,4R,9R,10R,11R,13R,15R,15-aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

444300-34-9 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 10-[[2-o-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexcpyranoxyl]oxyl-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[2-prcyrynloxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

AUTHOR (S):

ANSWER 6 OF 12
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:

CAPLUS COPYRIGHT 2004 ACS on STN
2001:758464 CAPLUS
136:47983
Novel Erythromycin Derivatives with Aryl Groups
Tethered to the C-6 Position Are Potent Protein
Synthesis Inhibitors and Active against
Multidrug-Resistant Respiratory Pathogens
Ma, Zhenkun; Clark, Richard F.; Brazzale, Antony;
Wang, Sanyir Rupp, Michael J.; Li, Leping;
Griesgraber, George; Zhang, Suoming; Yong, Hong; Phan,
Ly Tam; Nemoto, Peter A.; Chu, Daniel T. W.; Plattner,
Jacob J.; Zhang, Xiaolin; Zhong, Ping; Cao, Zhensheng;
Nilius, Angela M.; Shortridge, Virginia D.; Flamm,
Robert; Mitten, Michael; Meulbroek, Jon; Ewing, Patty;
Alder, Jeff; Or, Yat Sun
Infectious Disease Research, Abbott Laboratories,
Abbott Park, IL, 6064-1357, USA
Journal of Medicinal Chemistry (2001), 44(24),
4137-4156
CODEN: JMCHAR: ISSN: 0022-2623
American Chemical Society
Journal
English

CORPORATE SOURCE:

SOURCE:

4137-4156
COREN: MCMAN: ISSN: 0022-2623

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUNGE: English
AB A novel series of erythromycin derivs. has been discovered with potent
activity against key respiratory pathogens, including those resistant to
erythromycin. These compds. are characterized by having an arryl group
tethered to the C-6 position of the erythromolide skeleton. Extensive
structural modification of the C-6 molety led to the discovery of several
promising compds. with potent activity against both mef- and erm-mediated
resistant Streptocococcus pneumoniae. Freliminary mechanistic studies
indicated that the new macrolides are potent protein synthesis inhibitors,
which interact with methylated ribosomes isolated from resistant
organisms. In exptl. animal models, these compds. exhibited excellent in
vivo efficacy and balanced pharmacokinetic profiles.

IT 38122-08-5P
RE: PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
(Reactant or reagent); USES (Uses)
(novel crythromycin deriva. with aryl groups tethered to the C-6
position are potent protein synthesis inhibitors and active against
mulidrug-resistant respiratory pathogens)

NN 381222-05-5 CAPLUS
NN 381222-05-5 CAPLUS
NN (Hitrilockhano)-2H-oxacyclotetradecino(4,3-d)oxazole-2,6,8(7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15D-xylo-hexopyranosyl)oxyl-, (3a5;4R,7R,9R,10R,11R,13R,15R,15R)- (9CI)

Absolute stereochemistry.

L14 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L14 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

38122-06-6P
RL: PKT (Pharmacokinetics); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (novel erythromycin derivs. with aryl groups tethered to the C-6 position are potent protein synthesis inhibitors and active against multidrug-resistant respiratory pathogens)
381222-06-6 CAPUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[(2E)-3-(3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-P0-sylo-bexopyranosylloxy]-.
(3aS,4R,7R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as sh

61

REFERENCE COUNT:

THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L14 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

Answer 7 of 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

The instant invention provides novel macrolide I wherein X' is selected from the group consisting of C1-C10 alkyl, C3-C10 alkenyl, and C3-C10 alkynyl Y' and Z' are independently selected from the group consisting of: (c) optionally substituted aryl, and (d) optionally substituted heterosryl, with the proviso that both Y' and Z' are not both Ph, and with the further proviso that Y' is not isoxazole when Z' is thiophenyl; R is a hydroxy protecting group; L is CH2, CO; T is O, NH, substituted mine; and compns. useful in treating bacterial infections. Thus, I [R = M, L = CO, T = NN, KY'Z' = CH2C.tylbond.C-(5-(2-pyridyl)-2-thienyl)] was prepared and tested in vitro for its antibacterial activity.

263866-13-9P

RL: RAC (Biological activity or effector, except adverse), BSU (Biological study), PREP (Preparation); USES (Uses)

(preparation of substituted macrolides erythromycin analogs having antibacterial activity)

263866-13-9 CAPLUS

14,1-(NitriOethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15s-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[6-C-pyridinyl)-2-thenyl)-2-propyylloxyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxylloxyl-, (3as,4R,7R,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

263868-58-2P
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted macrolides erythromycin analogs having antihacterial activity)
(263661-59-2 CAPLUS
14,1-(Nitrileethano)-2H-oxacyclotetradecine[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 10-[12-0-acety-1-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl) oxyl-4-ethyl-3,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-,3a,7,8,11,13,15-hexamethyl-11-(2-propynyloxy)-,3a,7,8,7R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:665740 CAPLUS
133:222971
ITITLE: 133:222971
INVENTOR(S): 133:222971
INVENTOR(S): 2000:665740 CAPLUS
INVENTOR(S): 313:222971
INVENTOR(S): 313:222971
INVENTOR(S): 45 cm of 6-0-substituted macrolides erythromycin analogs having antibacterial activity
Or, Yat Sun, Clark, Richard F.; Ma, Zhenkun; Rupp, Michael J.
Abbott Laboratoriea, USA
PCT Int. Appl., 142 pp.
CODEN: PIXXU2

DOCUMENT TYPE: 45 cm of 6-0-substituted macrolides erythromycin analogs having antibacterial activity
Or, Yat Sun, Clark, Richard F.; Ma, Zhenkun; Rupp, Michael J.
English
English
English
Inventor NUMBER: 12004 ACS on STN
2000:665740 CAPLUS
133:222971
Preparation of 6-0-substituted macrolides erythromycin analogs having antibacterial activity
Or, Yat Sun, Clark, Richard F.; Ma, Zhenkun; Rupp, Michael J.
English
English
Inventor Company (Company Company Company

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

W10 2000055168 A1 20000921 W0 2000-US6033 20000308
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, DZ, EE, ES, FI, GB, GD, GE, GH, GH, HR, HU, ID, IL, IN, IS, JF, KE, KG, KF, KR, KZ, LC, LK, LK, LS, LT, LU, LY, MD, MG, MX, MN, MW, MX, NO, NZ, PI, PT, RO, RU, SD, SE, SG, SI, SK, SL, MD, RU, TJ, TH
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, FT, SE, FF, BJ, CF, CG, CI, CM, GA, GM, GW, ML, MR, NE, SN, TD, TG

EF 1161438 A1 20011212
R: AT, BE, CH, CW, DK, ES, FR, GB, GR, IT, LI, UN, NL, SE, MC, FT, IE, SI, LT, LV, FI, RO
BR 200008731 A 20020924
JP 2002539217 T2 20021119
ZA 2001006181 A 20021026
BG 105865 A 20020951
BG 2001-105865 20010901
ND 2001-4380 20010910
NRITY APPLN. INFO.: U20 200315

BR 2000-8731 20000308
JF 2000-605596 20000308
ZA 2001-6181 20010726
BG 2001-105865 20010901
US 1999-270497 A 19990315
WO 2000-US6033 W 20000308

PRIORITY APPLN. INFO .:

MARPAT 133:222971 OTHER SOURCE(S):

(Continued) L14 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

DOCUMENT NUMBER:

ISWER 8 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ON NUMBER: 2000:268525 CAPLUS
IT NUMBER: 132:279474
Preparation of 6-0-substituted macrolides having antibacterial activity
OC, Yat Sun; Clark, Richard F.; Ma, Zhenkun; Rupp, Michael John TITLE:

INVENTOR(S):

michael John Abbott Laboratories, USA U.S., 37 pp. CODEN: USXXAM Patent English PATENT ASSIGNEE(5):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE US 6054435
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI 19990319 19990319 A 20000425

Macrolide erythromycins I (R = H, hydroxy protecting group; X = alkyl, alkenyl, alkynyl; Y and Z = aryl, heteroaryl; L = CHZ, CO; T = O, NH, substituted amine) were prepared as antibacterial agents. Thus, I [R = H, L = CO, T = NH, XYZ = H:CHI-[5-(2-furanyl)-2-thienyl)] was prepared and tested for its in-vitro antibacterial activity. (MIC = 0.094-100).

263868-1399
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses)
[preparation of 6-O-substituted macrolides having antibacterial activity) 263868-13-9 CAPUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino(4,3-d)-cxacyle-2,6,8 (7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15-acctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(2-pyridinyl)-2-thienyl-2-propyylloxyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosylloxyl-,

AANSWER 9 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
1599:439310 CAPLUS
1159:51159097
171E: Preparation of multicyclic erythromycins as antibacterial agents or, Yst Sun; Griesgraber, George: Chu, Daniel T.
Abbott Laboratories, USA
USKCE: USKXAM
Patent
ANGUAGE: COUNT: 1

Legislish
MILY ACC. NUM. COUNT: 1

INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC, NUM, COUNT: PATENT INFORMATION:

APPLICATION NO. DATE DATE US 1998-87035 19980529 US 5922683
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI A US 1998-870: US 1997-50928P MARPAT 131:59097

Novel multicyclic erythromycin compds. I (A, B, D, E are independently substituted alkyl, ether, aminoalkyl, ester; Rl is H, OH, protected OH, OR; R is CN, F, alkyl, amide, aryl, heteroaryl, alkenyl; R2 is H, OH protecting group; R3 is absent, acyl, alkyl; Y, Z are both H or one is H and the other is OH, protected OH, cladinosyl; YZ together form oxo group) and pharmaceutically acceptable salts and esters were prepared as antibacterial agents. Thus, I (R1 = OMe, R2 = H, R3 is absent, the double bond is present, A, B, D, E = H, YZ = oxo) was prepared and showed antibacterial activity (MIC = 0.1 - 0.39 and in some cases MIC > 100). RL: BAC (Biological activity of afficial recomposition of the property of the p

Z17324-72-69
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TBU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of multicyclic erythromycin derivs. as antibacterial agents) 217324-72-6 CAPUS

21/324-72-6 CAPLUS 2H-15,1,4-Ethanylylidene-3,6,1,16-benzodioxadiazacyclooctadecine-2,7,9(8H,10H)-trione, 5-ethyl-4,5,11,12,13,14-hexahydro-4,8,10,12,14,21-

ANSWER 8 OF 12 CAPLUS COPYRIGHT 2004 ACS on SIN (Cont (3aS, 4R, 7R, 9R, 10R, 11R, 13R, 15R, 15aR) - (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

263868-58-2P

26386-58-2P
RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 6-0-substituted macrolides having antibacterial activity)
26386-8-9-2 CAPLUS
14.1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 10-[12-0-acetyl-3,4,0-trideoxy-3-(dimethylamino)-β-D-xylohexopyranoxyl)oxyl-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,315-hexamethyl-11-(2-propynyloxy)-,
(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 22

L14 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
hexamethyl-12-(2-propenyloxy)-11-[[3,4,6-trideoxy-3-(dimethylamino)-βD-xylo-hexopyranosyl]oxy]-, (45,5x,8x,10x,11x,12x,14x,21x,2x)- (9C1) (CA
INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CUMENT NUMBER:

TITLE:

ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
SSION NUMBER: 1999:90309 CAPLUS
100:125347
E: Preparation of erythromycin macrolides as antibacterial agents
NTOR(S): Or, Yat Sun; Ma, Zhenkun; Clark, Richard F., Chu, Daniel T., Plattner, Jacob J.; Griesgraber, George Abbott Laboratories, USA
CE: USXXAM
MENT TYPE: Patent INVENTOR (S): PATENT ASSIGNEE (S):

SOURCE:

DOCUMENT TYPE: LANGUAGE: Patent English 2

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

OTHER SOURCE(S):

| PAT | ENT | NO. | | KIV | 1D | DATE | | | AI | PLI | CATI | ON N | 0. | DATE | | | | |
|------|-------|-------|------|-----|-----|------|-------|------|------|-------------|------|-------|------|------|-------|-----|-----|----|
| 115 | 5866 | 549 | | A | - | 1999 | 0202 | | US | 19 | 97-8 | 8835 | 0 | | | | | |
| 74 | 9707 | 474 | | A | | 1998 | 0323 | | ZI | 19 | 97-7 | 474 | | 1997 | 0820 | | | |
| MΩ | GRAG | 978 | | A 1 | | 1998 | 0312 | | W | 19 | 97-I | IS155 | 06 | 1997 | 0902 | | | |
| | W: | A11. | BG, | BR. | BY. | CA. | CN. | CZ. | HU. | IL. | JP. | KR. | MX. | NO. | NZ, | PL, | RO, | |
| | | | SG, | | | | | | | | | | | | | | | |
| | RW: | AT. | BE. | CH. | DE. | DK. | ES. | FI. | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE |
| ШA | 9741 | 780 | | À | 1 | 1998 | 0326 | | ÀΙ | J 19 | 97-4 | 1780 | 1 | 1997 | 0902 | | | |
| AU | 7293 | 48 | | B2 | 2 | 2001 | 0201 | | | | | | | | | | | |
| EP | 9295 | 63 | | A1 | 1 | 1999 | 0721 | | E | 19 | 97-9 | 3976 | 55 | 1997 | 0902 | | | |
| | | | | | | | | | | | | | | | | | IE, | FΙ |
| BR | 9711 | 661 | | A | | 1999 | 0824 | | B1 | ₹ 19 | 97- | 1661 | | 1997 | 0902 | | | |
| CN | 1237 | 183 | | A | | 1999 | 1201 | | CI | 1 19 | 97- | 9933 | 4 | 1997 | 0902 | | | |
| SI | 2002 | 3 | | C | | 2000 | 0229 | | 5 | 19 | 97-2 | 20062 | ? | 1997 | 0902 | | | |
| JP | 2001 | 5008 | BE, | T | 2 | 2001 | 0123 | | J | 19 | 98- | 1285 | 8 | 1997 | 0902 | | | |
| NZ | 3342 | 74 | | A | | 2001 | 0223 | | N: | 19 | 97- | 33427 | 4 | 1997 | 0902 | | | |
| RU | 2192 | 427 | | C | 2 | 2002 | 1110 | | R | J 19 | 99- | 10677 | 8 | 1997 | 0902 | | | |
| EP | 1291 | 350 | | A: | 1 | 2003 | 0312 | | E | 20 | 02- | 24557 | 7 | 1997 | 0902 | | | |
| | | | | | | | | | | | | | | | | | ΙE, | Fi |
| EP | 1291 | 351 | | A: | 1 | 2003 | 0312 | | E | 20 | 02- | 24558 | 1 | 1997 | 0902 | | | |
| | R: | AT. | BE. | CH. | DE. | DK, | ES, | FR, | GB, | GR, | IT. | LI, | LU, | NL, | SE, | PT, | IE, | FI |
| EP | 1291 | 1352 | | A. | 1 | 2003 | 0312 | | E | P 20 | 02- | 24559 |) | 1997 | 10902 | | | |
| | R: | AT. | BE. | CH. | DE, | DK, | ES, | FR, | GB, | GR, | IT. | LI, | LU, | NL, | SE, | PT, | IE, | FΙ |
| EP | 1291 | 1353 | | A. | 1 | 2003 | 0312 | | E | P 20 | 02- | 24560 |) | 1997 | 10902 | | | |
| | | | | | | | | | | | | | | | | | ĮΕ, | FI |
| TW | 4589 | 980 | | В | | 2001 | 1011 | | T' | J 19 | 97- | 36112 | 2756 | 1997 | 0925 | | | |
| US | 6028 | 181 | | A | | 2000 | 0222 | | U | 5 19 | 98- | 18639 | 95 | 1998 | 1104 | | | |
| US | 6075 | 133 | | A | | 2000 | 0613 | | U | s 19 | 98- | 18588 | 33 | 1998 | 1104 | | | |
| US | 614 | 7197 | | Α | | 2000 | 1114 | | U | s 19 | 98- | 18590 |)3 | 1998 | 1104 | | | |
| NO | 990 | 1022 | | A | | 1999 | 10503 | | N | 0 19 | 199- | 1022 | | 1999 | 0302 | | | |
| BG | 6354 | 17 | | В | 1 | 2002 | 0430 | | В | G 19 | 199- | 10329 | 92 | 1999 | 0326 | | | |
| RIT | Y API | LN. | INFO | . : | | | | | us 1 | 996- | 707 | 776 | B2 | 1996 | 60904 | | | |
| | | | | | | | | | 02 I | 331, | 000 | 330 | ^ | 133 | ,0,03 | | | |
| | | | | | | | | | EP 1 | 997- | 939 | 765 | A3 | 1997 | 70902 | | | |
| | | | | | | | | | | 997- | ·US1 | 5506 | W | 1997 | 70902 | | | |
| R 50 | OURC | E(S): | : | | MAI | RPAT | 130: | 1253 | 47 | | | | | | | | | |

ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued) 205110-60-7 CAPLUS 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxacole-2,6,8 (7H,9H)-trions, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(3-quinolinyl)-2-propenyl]oxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-#D-Pylo-hexopyr-anoxyl]oxyl-, (15,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unkno

205113-73-1P 219827-28-8P
RL: RCT (Reactant): SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of erythromycin macrolides as antibacterial agents) 205113-73-1 CAPLUS 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15-13-chabydro-3a,7,9,11,13,15,17,18-octamethyl-11-(2-propenyloxy)-10-[[3,4,6-tridecxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl)oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR,17R,18S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

(Continued) L14 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

Erythromycins I [R = substituted Me, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkenyl, (un)substituted alkynyl; Rl = H, hydroxy protecting group; L = CH2, CO: T = O, NH, substituted inline) were prepared as bactericides. Thus, I [R = CH2CH:CH-(6-hydroxy-2-naphthyl); Rl = H: L = CO: T = NH2) was prepared and tested for its antibacterial activity. 205110-52-7P 205110-60-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of erythromycin macrolides as antibacterial agents) 205110-52-7 CAPLUS
14.1-(Nitri loethano) = 2H-oxacyclotetradecino[4,3-d]oxazole=2,6,8 (7H,9H)-trione, 4-ethyl=3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl=11-(2-propenyloxy)=10-[13,4,6-tridoxy-3-(dimethylamino)=β-D-xylo-hexopyranoxyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

219827-28-8 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino(4,3-d)oxazole-2,6,8(7H,9H)-trione, 10-([2-o-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-bexoyyranoxyl]oxyl-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-bexamethyl-11-0-2-propenyl-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aB)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
SSION NUMBER: 1998:795029 CAPLUS
130:52676
En: Preparation of multicyclic erythromycins as bactericides
NTOR(S): Or, Yat Sun; Griesgraber, George W.; Chu, Daniel T.
Abbott Laboratories, USA
PCT Int. Appl., 82 pp.
CODEN: PIXXD2
MENT TYPE: Patent
LV ACC. NUM. COUNT: 1
TOT INFORMATION: ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

L14 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 2-A

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Erythromycins I (A, B, D and E = independently H, heteroatom-containing

AB Erythromycins I (A, B, D and E = independently H, necessary alkyl, alkenyl, alkynyl; Rl = H, OH, protected OH, alkoxy; arylmethyloxy; R2 ° H, protected OH, R3 = absent, O, H, OH, acyl alkyl; Y, Z = independently halogen, H, OH, cladinose; YZ = O) were prepared as antibacterial agents. Thus, I (A = B = D = E = R2 = H; Rl = allyloxy, R3 = absent; YZ = O) was prepared as antibacterial agent (MIC = 0.78).

II 217324-72-69
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

Proportion as antipacterial agent (MIC = 0.78).

21724-72-60
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); TBU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of multicyclic erythromycins as bactericides)
217324-72-6 CAPLUS
2H:-15,1,4-Ethanylylidene-3,6,1,16-benzedioxadiazacyclooctadecine-2,7,9(8H,10H)-trione, 5-ethyl-4,5,11,12,13,14-hexahydro-4,8,10,12,14,21-hexamethyl-12-(2-propenyloxy)-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-sylo-hexopyranosyl]oxy]-, (45,5R,8R,10R,11R,12R,14R,21R,22R)- (9CI) (CAINDEX NAME)

Absolute stereochemistry.

ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
1998:175937 CAPLUS
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128:25766 TITLE: INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | | APPLICATION NO. D | ATE |
|----------------------|-----------------|---------------------------|--------------------|
| | | | |
| WO 9809978 | A1 19980312 | WO 1997-US15506 1 | 9970902 |
| W: AU, BG, | BR, BY, CA, CN, | CZ, HU, IL, JP, KR, MX, 1 | NO, NZ, PL, RO, |
| | SI, SK, TR, UA, | | |
| RW: AT. BE. | CH. DE. DK. ES. | FI, FR, GB, GR, IE, IT, | LU, MC, NL, PT, SE |
| US 5866549 | A 19990202 | US 1997-888350 1 | 9970703 |
| | A1 19980326 | AU 1997-41780 1 | 9970902 |
| | B2 20010201 | | |
| | | EP 1997-939765 1 | 9970902 |
| D. AT DE | CH DE DE ES | FR, GB, GR, IT, LI, LU, | NL. SE. PT. IE. FI |
| | | BR 1997-11661 1 | |
| DK 9/11001 | A 19990024 | SI 1997-20062 1 | 0970902 |
| 51 20023 | 20000229 | JP 1998-512858 1 | 0070002 |
| | | JP 1998-512858 1 | 0070002 |
| NZ 334274 | | NZ 1997-334274 1 | |
| RU 2192427 | | RU 1999-106778 1 | |
| NO 9901022 | | NO 1999-1022 1 | 9990302 |
| BG 63547 | B1 20020430 | | |
| PRIORITY APPLN. INFO | | US 1996-707776 A 1 | 9960904 |
| | | US 1997-888350 A 1 | 9970703 |
| | | WO 1997-US15506 W 1 | 9970902 |
| | | | |

OTHER SOURCE(S): MARPAT 128:257662

Title erythromycin ketolides, e.g. I (R = Me substituted with CN, F, carboxylate, amide, aryl, heteroaryl; (un)substituted alkyl; Rl = H, OH; R2 = H, hydroxy protecting group; Y,Z = O, (un)substituted NOH; 2, Y =

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) independently H, OH, protected OH, amine), were prepd. as bactericides. Thus, I (R = ally), R1 = OH, R2 = H, Y, Z = O) was prepd. and tested for its antibacterial activity. Antibacterial activity of selected compds. was MIC = 0.1-120.

17 205110-52-7P 205110-60-7P 205113-51-5P 205113-52-6P 205113-52-6P 205113-52-9P 205113-53-9P 205113-61-7P 205113-62-9P 205113-62-9P 205113-62-9P 205113-62-PP 205113-73-1P 205113-74-PP 205113-73-PP 205113-73-PP 205113-74-PP 205113-73-PP 205113-74-PP 205113-73-PP 205113-74-PP RLI BAC (Riological activity or effector, except adverse); BSU (Biological study) pREP (Preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 6-0-substituted erythromycin ketolide derivs. as antibacterial agents)

RN 205110-52-7 CAPLUS

N1 (-1 (Nitrilocthano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-D-xylo-hexopyranosyl)oxyl-, (1s,3as,4R,7R,9R,10R,11R,13R,15R,15AR)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

205110-60-7 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-(3-quinclinyl)-2-propenyl)oxy]-10-[[3,4,6-trideoxy-3dimethylamino]-β-D-xylo-hexopyranoxyl)oxyl,
[15,3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT-2004 ACS on STN

205113-53-7 CAPLUS
14,1-{Nitriloethano}-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-11-[[3-(4-fluorophenyl)-2-propenyl]oxy}-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-tridecxy-3-(dimethyl-amino)-β-D-xylo-hexopytranosyl]oxyl,(15,3as,4R,7R,9R,10R,11R,13R,15R,15aR)-(GCI) (CA INDEX NAME)

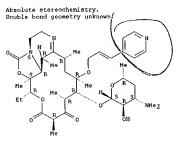
Absolute stereochemistry.
Double bond geometry unknown

205113-54-8 CAPLUS
14,1-{Witriloethano}-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 {7H,9H}-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-11-[[3-(4-methoxyphenyl)-2-propenyl]oxy]-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino]-B-D-xylo-hexopyranosyl]oxy]-,
[15,3as,4R,7R,9R,10R,11R,13R,15R,15R]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

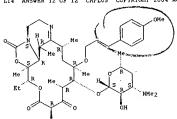
205113-51-5 CAPLUS
14,1-{Nitriloethano}-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[13-(4-pyridinyl)-2-propenylloxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosylloxy]-,
[15,3a5,4R,7R,9R,10R,11R,13R,15R,15R]-(9CI) (CA INDEX NAME)



205113-52-6 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 11-[3-(4-chlorophenyl)-2-propenyl)oxy]-4-ethyl3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6trideoxy-3- (dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (15,3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



205113-57-1 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(4-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-(16,3a5,48,78,98,10R,118,13R,158,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

205113-59-3 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7M,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-11-[[3-(5-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3(dimethylamino]-Fp-Pxylo-hexopyranoxyl]oxyl,
[15,3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

$\cdot 10/075,012$

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.
Double bond geometry unknown:

205113-61-7 CAPMUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione,11-[3-(4-benzoxazolyl)-2-propenyl]oxyl-4-ethyl3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[{3,4,6-trideoxy-3-diamethylamino]-9-D-xylo-bexopyryanosyl]oxyl-(15,3a5,4R,7R,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unkn

205113-62-8 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 11-[(3-(1H-benzimidazol-4-yl)-2-propenyl)oxyl-4-ethyl-33,4,10,11,12,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxy]-,

ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 205113-69-5 CAPLUS 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-17-(phenylmethyl)-11-(2-propenyloxy)-10-[(3,4,6-trideoxy-3-(dimethylanino)-B-D-Nylo-hexopyranoxyl)oxy)-, (15,3aS,4R,7R,9R,10R,11R,13R,15R,15AR,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me2N

205113-70-8 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-18-(phenylmethyl)-11-(2-propenyloxy)-10-[{3,4,6-trideoxy-3(dimethylamino]-6-0-aylo-hexopyranoxyl)oxyl,
[15,3as,44,7R,9R,10R,11R,13R,15R,15aR,18S}-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued) (1s, 3as, 4r, 7r, 9r, 10r, 11r, 13r, 15r, 15ar) - (9CI) (CA INDEX NAME)

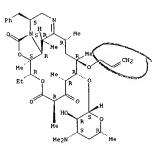
Absolute stereochemistry. Double bond geometry unkn

205113-63-9 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 {7H,9H}-trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-11-[13-(4-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-6-D-xylo-hexopyranoxyl]oxy]-, (15,3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

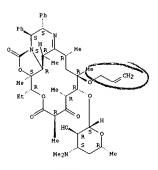
Double bond geometry upknown.

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN



205113-71-9 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino{4,3-d}oxazole-2,6,8(7H,9H)trione, 4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15hexamethyl-17,18-diphenyl-11-(2-propenyloxy)-10-[{3,4,6-trideoxy-3cdimethylamino}-B-D-sylo-bexopyranosyl)oxyl(15,3as,4R,7R,9R,10R,11R,13R,15R,15aR,17S,18S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



205113-72-0 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyi-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15,18-

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) heptamethyl-11-(2-propenyloxy)-10-[{3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxyl-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15RR,18S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 205113-73-1 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d] oxazole-2,6,8 (7H,9H)-trione, 4-ethyl-3a,4,10,11,12,13,15,15,15a-octahydro-3a,7,9,11,13,15,17,18-octamethyl-11-(2-propenyloxy)-10-[[3,4,6-trideoxy-3-(dimethylamino]-β-D-xyl-ohexopyranoxyl]oxy]-, (1S,3aS,4R,7R,9R,10R,11R,13R,15R,15aR,17R,18S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 205113-74-2 CAPLUS
CN 7H-15,1,4-Ethanylylidene-2H-cyclopenta{d}[1,16,3,6]dioxadiazacyclooctadeci ne-2,7,9(8H)-trione, 5-ethyl-4,5,10,11,12,13,14,16a,17,18,19,19a-dodcahydro-4,8,10,12,14,20-hexamethyl-12-{2-propenyloxy}-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxyl-, (15,45,5R,8R,10R,11R,12R,14R,16aR,19aS,20R,21R)- (9CI) (CA INDEX NAME)